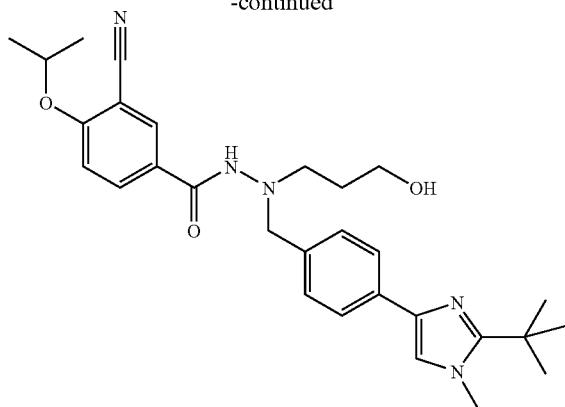


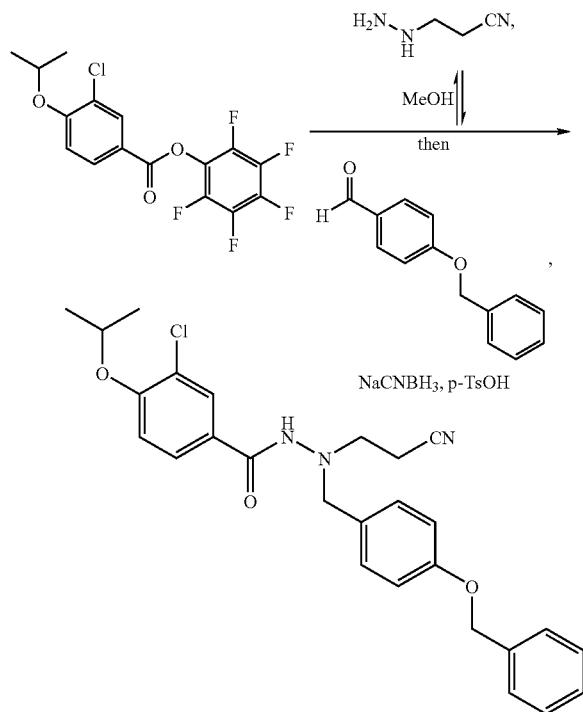
-continued



3-cyano-N'-(4-[2-(1,1-dimethylethyl)-1-methyl-1H-imidazol-4-yl]phenyl)methyl)-N'-(3-hydroxypropyl)-4-[(1-methylethyl)oxy]benzohydrazide

[1170] Following the procedure of Example 116b), except substituting 3-(*t*-butyldimethylsilyloxy)propanal (prepared by the method of Berque, I.; Le Ménez, P.; Razon, P.; Mahuteau, J.; Férezou, J.-P.; Pancrazi, A.; Ardisson, J.; Brion, J.-D., *J. Org. Chem.*, 1999, 64, 373-381) for (*t*-butyldimethylsilyloxy)acetaldehyde, the title compound was obtained as a white solid. <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ ppm 9.29 (s, 1 H) 8.03 (d, J=2.3 Hz, 1 H) 7.95 (dd, J=8.8, 2.3 Hz, 1 H) 7.58 (d, J=8.1 Hz, 2 H) 7.41 (s, 1 H) 7.31 (d, J=9.1 Hz, 1 H) 7.30 (d, J=8.3 Hz, 2 H) 4.84 (qq, J=6.1 Hz, 1 H) 4.43 (t, J=5.3 Hz, 1 H) 3.97 (s, 2 H) 3.73 (s, 3 H) 3.48 (q, J=6.1 Hz, 2 H) 2.91 (t, J=6.8 Hz, 2 H) 1.57-1.64 (m, 2 H) 1.37 (s, 9 H) 1.31 (d, J=6.1 Hz, 6 H). MS(ES+) m/e 504 [M+H]<sup>+</sup>.

Example 122



3-chloro-N'-(2-cyanoethyl)-4-[(1-methylethyl)oxy]-N'-(4-[{phenylmethyl}oxy]phenyl)methylbenzohydrazide

[1171] A solution of pentafluorophenyl 3-chloro-4-[(1-methylethyl)oxy]benzoate (0.100 g, 0.263 mmol) in methanol (5.0 mL) was treated with 3-hydrazinopropanenitrile (0.023 mL, 0.289 mmol) and heated to reflux for 1 h. Following cooling, 4-(benzyloxy)benzaldehyde (0.067 g, 0.315 mmol), sodium cyanoborohydride (0.020 g, 0.315 mmol), and p-toluenesulfonic acid monohydrate (0.060 g, 0.315 mmol) were added and the solution stirred 30 min. at ambient temperature. Additional 4-(benzyloxy)benzaldehyde (0.067 g, 0.315 mmol), sodium cyanoborohydride (0.040 g, 0.637 mmol), and p-toluenesulfonic acid monohydrate (0.100 g, 0.526 mmol) were added and the solution stirred overnight at ambient temperature. The reaction was quenched with 6N aqueous NaOH, diluted with brine, and extracted thrice with EtOAc. The organic layer was dried over MgSO<sub>4</sub>, filtered, and concentrated in vacuo. Purification via flash column chromatography (30-80% EtOAc/hexanes) gave the title compound as a white solid (0.077 g; 61%). <sup>1</sup>H NMR (400 MHz, CHLOROFORM-d) δ ppm 7.61 (d, J=2.3 Hz, 1 H) 7.45 (dd, J=8.8, 2.2 Hz, 2 H) 7.42 (s, 1 H) 7.36-7.41 (m, 2 H) 7.32 (tt, J=7.0, 1.8 Hz, 1 H) 7.28 (s, 1 H) 7.17 (br. s, 1 H) 6.94 (d, J=8.6 Hz, 2 H) 6.88 (d, J=8.6 Hz, 1 H) 5.05 (s, 2 H) 4.61 (qq, J=6.0 Hz, 1 H) 4.22 (s, 2 H) 3.39 (t, J=6.6 Hz, 2 H) 2.60 (t, J=6.6 Hz, 2 H) 1.39 (d, J=6.1 Hz, 6 H). MS(ES+) m/e 478 [M+H]<sup>+</sup>.

Example 123

